

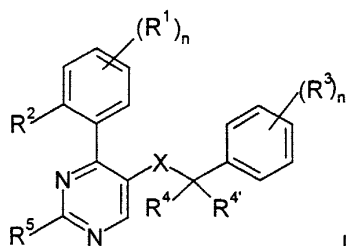


[12] Patent

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[21] Application No.: GCC/P/2000/684 [22] Filing Date: 30/05/2000 [30] Priority: [31] Priority No. [32] Priority date [33] State 99110483.7 31/05/1999 EP [72] Inventors: 1- Michael Bos, 2- Guido Galley, 3- Thierry Godel, 4- Torsten Hoffmann, 5- Walter Hunkeler, 6- Patrick Schnider, 7- Heinz Stadler [73] Owner: F. Hoffmann – La Roche AG, 124 Grenzacherstrasse, CH-4070 Basel, Switzerland [74] Agent: Nassir Ali Kadasa	[51] Int. Cl. ⁷ : C07D 239/42, 239/48, 239/34, 239/38, 239/28, 401/04; A61K 31/505; A61P 29/00 [56] Cited Documents: - WO 97/09315 A (SIGNAL PHARMACEUTICALS) 13 March 1997 - EP 0169712 A (FUJISAWA) 29 January 1986

[54] 4-PHENYL – PYRIMIDINE DERIVATIVES

[57] Abstract: The invention relates to compounds of the formula



wherein

R^1 is hydrogen or halogen; R^2 is hydrogen, halogen, lower alkyl or lower alkoxy; R^1 and R^2 may be together with the two carbon atoms —CH=CH-CH=CH-; R^3 is halogen, trifluoromethyl, lower alkyl or lower alkoxy; R^4/R^4 are independently from each other hydrogen or lower alkyl; R^5 is lower alkyl, lower alkoxy, amino, phenyl, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, $-(CH_2)_n$ -piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, $-(CH_2)_n$ -morpholinyl, $-(CH_2)_n$ -piperidinyl, $-(CH_2)_{n+1}$ -imidazolyl, lower alkyl-sulfanyl lower alkyl-sulfonyl, benzylamino, $-NH-(CH_2)_{n+1}N(R^{4''})_2$, $-(CH_2)_{n+1}N(R^{4''})_2$, $-O-(CH_2)_{n+1}$ -morpholinyl, $-O-(CH_2)_{n+1}$ -piperidinyl or $-O-(CH_2)_{n+1}N(R^{4''})_2$, wherein $R^{4''}$ is hydrogen or lower alkyl; and n is 0-2; X is $-C(O)N(R^{4''})-$ or $-N(R^{4''})C(O)-$; and to pharmaceutically acceptable acid addition salts thereof. It has been shown that the compounds have a good affinity to the NK-1 receptor and may therefore be used for the treatment of diseases related to this receptor.

No. of claims: 14